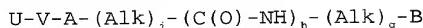


## WHAT IS CLAIMED IS:

## 1. A compound of the formula



5 or a pharmaceutically acceptable salt thereof, wherein g, h and j are each independently 0 or 1; provided when h is 0, then g is 0;

each Alk is independently a alkyl radical;

10

U represents amidino, guanidino,  $-(\text{G-alkyl})_k-\text{NH-R}_1$ ,  $-(\text{G-alkyl})_k-\text{NH-C(Q)-R}_1$ ,  $-(\text{G-alkyl})_k-\text{C(Q)-N(R)-R}_1$ ,  $-(\text{G-alkyl})_k-\text{NH-C(Q)-N(R)-R}_1$ ,  $-(\text{G-alkyl})_k-\text{NH-C(Q)-O-R}_1$  or  $-(\text{G-alkyl})_k-\text{O-C(Q)-N(R)-R}_1$  radical; or U represents a

15

hydroxyalkyl-G- radical which is optionally substituted by a cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

20

wherein k is 0 or 1;

G represents a bond, O, S or NH;

25

Q represents O, S, NH, N-CN or N-alkyl;

R is a radical of hydrogen or alkyl;

30

R<sub>1</sub> is a radical of alkyl, haloalkyl, R<sub>21</sub>R<sub>22</sub>N-alkyl, R<sub>21</sub>O-alkyl, R<sub>21</sub>S-alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

35

wherein  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the

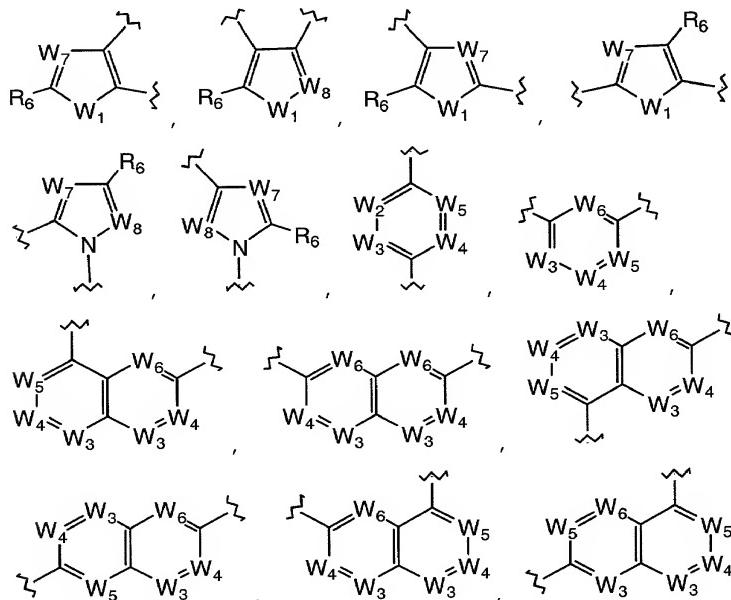
5 cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

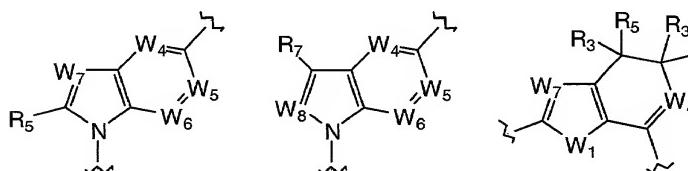
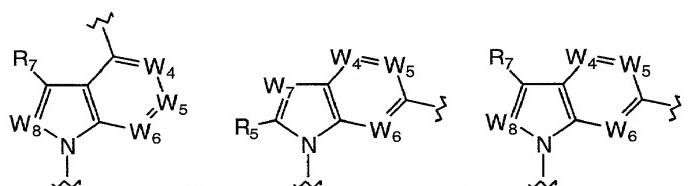
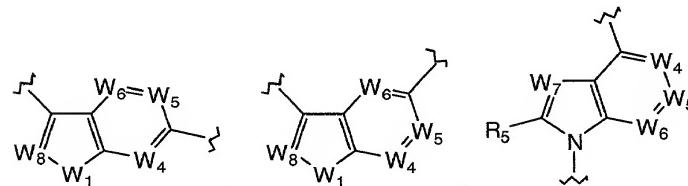
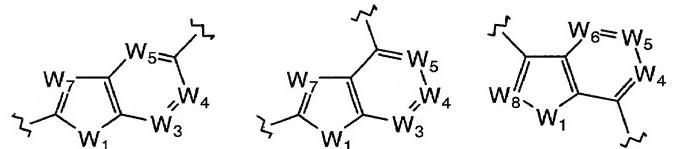
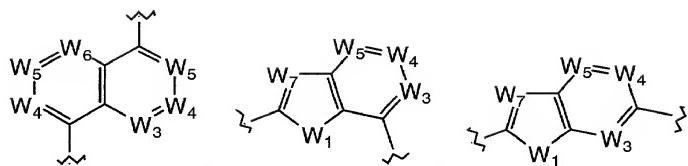
each  $R_2$  is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy,

10 cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

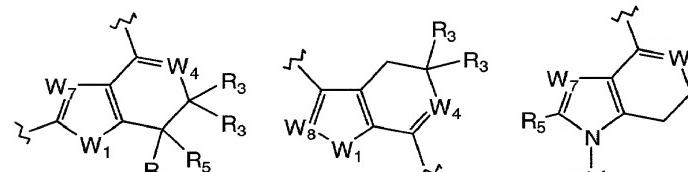
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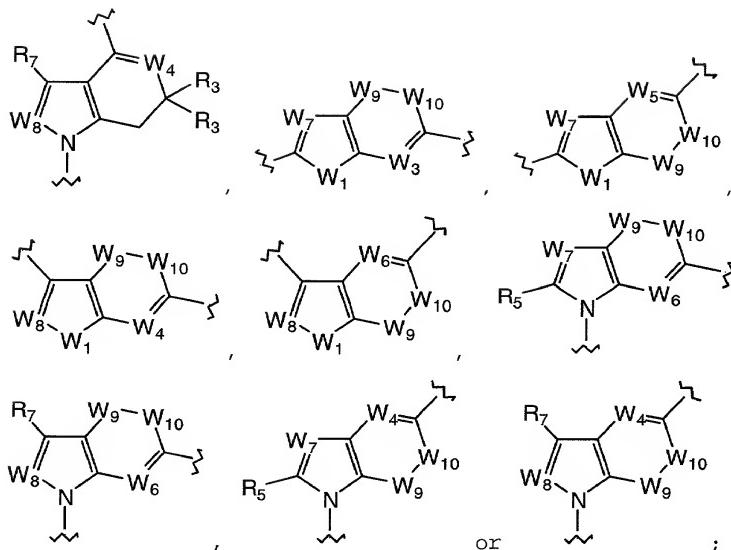
$V$  represents a radical of formula





5





5 wherein  $W_1$  is O, S or N-R<sub>3</sub>; wherein each R<sub>3</sub> is independently a hydrogen or alkyl radical; W<sub>2</sub> is N or C-R<sub>4</sub>; W<sub>8</sub> is N or C-R<sub>5</sub>;

W<sub>9</sub> is C(R<sub>3</sub>)<sub>2</sub> and W<sub>10</sub> is W<sub>1</sub>; or W<sub>9</sub> is CR<sub>3</sub>R<sub>5</sub> and W<sub>10</sub> is C(R<sub>3</sub>)<sub>2</sub>;

10

each W<sub>2</sub>, W<sub>3</sub>, W<sub>4</sub> and W<sub>5</sub> are independently N or C-R<sub>4</sub>; provided the total number of cycloalkyl, aryl, heteroaryl, heterocyclyl, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub> and -R<sub>19</sub> radicals in W<sub>2</sub>, W<sub>3</sub>, W<sub>4</sub> and W<sub>5</sub> is 0-2;

15 each W<sub>6</sub> is independently N or C-H; provided that not more than two of W<sub>2</sub>, W<sub>3</sub>, W<sub>4</sub>, W<sub>5</sub> and W<sub>6</sub> represent N; and

20 each R<sub>4</sub> is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy,

cyano, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  
 $-C(O)-N(R_{19})_2$ , cycloalkyl, cycloalkyl-alkyl, aryl, aryl-

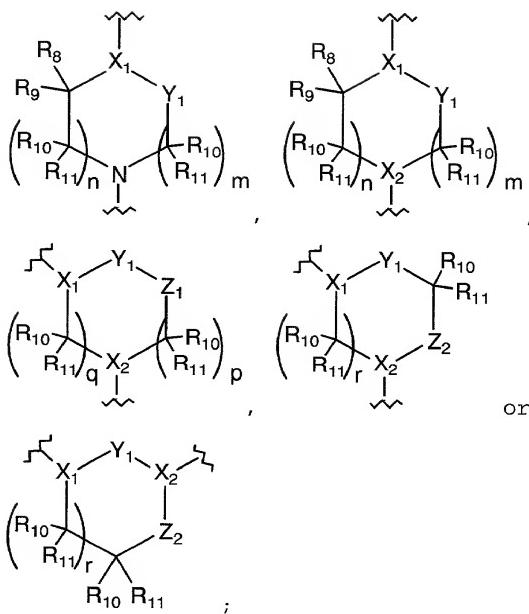
alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or  
heterocyclyl-alkyl radical, wherein the cycloalkyl,

- 5      aryl, heteroaryl and heterocyclyl radicals are  
optionally substituted by 1-3 radicals of  $R_2$ ; or two  
adjacent  $R_4$  radicals taken together with the carbon  
atoms to which they are attached represent a fused-  
phenyl or fused-heteroaryl of 5-6 ring members, wherein  
10     the phenyl and heteroaryl radicals are optionally  
substituted by 1-3 radicals of  $R_2$ ;

$R_5$ ,  $R_6$  and  $R_7$  are each independently a hydrogen, halo,  
alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy,

- 15     hydroxy or cyano radical; or  $R_5$  and  $R_6$  or  $R_6$  and  $R_7$  taken  
together with the carbon atoms to which they are  
attached represent a fused-phenyl or fused-heteroaryl  
of 6 ring members, wherein the phenyl and heteroaryl  
radicals are optionally substituted by 1-3 radicals of  
20      $R_2$ ; or  $R_3$  and  $R_6$  taken together with the carbon atoms to  
which they are attached represent a fused-heteroaryl of  
6 ring members optionally substituted by 1-3 radicals  
of  $R_2$ ;

- 25     A represents a radical of formula



5 wherein  $X_1$  is N or C-H;

$X_2$  is C-H, C-alkyl, a spirocycloalkyl or spiroheterocyclyl radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally

10 substituted by an oxo or thioxo radical and 1-2 radicals of alkyl, haloalkyl, hydroxy, alkoxy or haloalkoxy;

$Y_1$  is  $-C(O)-$ ,  $-C(S)-$ ,  $-S(O)-$  or  $-S(O)_2-$ ;

15

$Z_1$  is O or  $N-R_{12}$ ;

$Z_2$  is O, S or  $N-R_{12}$ ;

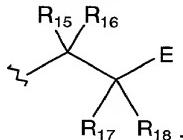
n and m are each independently 0, 1 or 2, provided n + m = 1, 2, 3 or 4;

5 p and q are each independently 0, 1 or 2, provided p + q = 1, 2 or 3;

r is 1 or 2;

10 R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> and R<sub>12</sub> are each independently a hydrogen or alkyl radical; or -CR<sub>8</sub>R<sub>9</sub>- represents a -C(O)-;

B represents a radical of formula



wherein (a) R<sub>15</sub> is a hydrogen or alkyl radical; and R<sub>17</sub> is (1) an aryl, heteroaryl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or

25 (b) R<sub>17</sub> is a hydrogen or alkyl radical; and R<sub>15</sub> is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>

30

$R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ , radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

5

provided that when a nitrogen atom is attached to the carbon atom to which  $R_{15}$  is attached, then  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or  $-C(O)-NH-R_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ ;

10

wherein  $R_{19}$  is a alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

20

$R_{16}$  and  $R_{18}$  are each independently a hydrogen or alkyl radical; and

25

$E$  is a radical of carboxy, amido, tetrazolyl,  $-C(O)-O-R_{20}$ ,  $-C(O)-NH-R_{20}$ ,  $-C(O)-NH-S(O)-R_{20}$ ,  $-C(O)-NH-S(O)_2-R_{20}$  or  $-C(O)-NH-C(O)-R_{20}$ ;

30

wherein  $R_{20}$  is an alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl radical or an alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; and

35

provided that when U represents amidino, guanidino, -C(Q)-NH-R<sub>1</sub> or -NH-C(Q)-NH-R<sub>1</sub> radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

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2. The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein

10 each Alk is independently a C<sub>1</sub>-C<sub>12</sub> alkyl radical;

U represents amidino, guanidino, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-C(Q)-N(R)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-N(R)-R<sub>1</sub>, -(G-15(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-O-R<sub>1</sub> or -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-O-C(Q)-N(R)-R<sub>1</sub> radical; or U represents a hydroxy(C<sub>1</sub>-C<sub>12</sub> alkyl)-G- radical which is optionally substituted by a C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the 20 cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

Q represents O, S, NH, N-CN or N-(C<sub>1</sub>-C<sub>8</sub> alkyl);

25 R is a radical of hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sub>1</sub> is a radical of C<sub>1</sub>-C<sub>8</sub> alkyl, halo(C<sub>1</sub>-C<sub>8</sub> alkyl) of 1-7 halo radicals, R<sub>21</sub>R<sub>22</sub>N-(C<sub>1</sub>-C<sub>8</sub> alkyl), R<sub>21</sub>O-(C<sub>1</sub>-C<sub>8</sub> alkyl), R<sub>21</sub>S-(C<sub>1</sub>-C<sub>8</sub> alkyl), C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>8</sub> 30 alkyl), aryl, aryl(C<sub>1</sub>-C<sub>8</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>8</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>8</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are 35 optionally substituted by 1-3 radicals of R<sub>2</sub>;

wherein R<sub>21</sub> and R<sub>22</sub> are each independently a radical of hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, halo(C<sub>1</sub>-C<sub>8</sub> alkyl) of 1-7 halo radicals, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>8</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>8</sub> alkyl), heteroaryl of 5-10 ring

- 5 members, heteroaryl(C<sub>1</sub>-C<sub>8</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>8</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

10

each R<sub>2</sub> is independently a halo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, halo(C<sub>1</sub>-C<sub>4</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>4</sub> alkoxy) of 1-5 halo radicals,

- 15 hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C<sub>1</sub>-C<sub>8</sub> alkylamino or di(C<sub>1</sub>-C<sub>8</sub> alkyl)amino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

- 20 each R<sub>3</sub> is independently a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical;

each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, halo(C<sub>1</sub>-C<sub>4</sub> alkyl) of 1-5

- 25 halo radicals, halo(C<sub>1</sub>-C<sub>4</sub> alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub>, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl(C<sub>1</sub>-C<sub>4</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl)

- 30 of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or two adjacent R<sub>4</sub> radicals taken 35 together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl

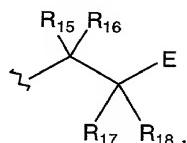
of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

- 5 R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are each independently a hydrogen, halo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, halo(C<sub>1</sub>-C<sub>4</sub>) alkyl of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>4</sub>) alkoxy of 1-5 halo radicals, hydroxy or cyano radical; or R<sub>5</sub> and R<sub>6</sub> or R<sub>6</sub> and R<sub>7</sub> taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or R<sub>3</sub> and R<sub>6</sub> taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R<sub>2</sub>;

- X<sub>2</sub> is C-H, C-(C<sub>1</sub>-C<sub>4</sub> alkyl), a C<sub>3</sub>-C<sub>8</sub> spirocycloalkyl or spiroheterocyclyl of 5-8 ring members radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thioxo radical and 1-2 radicals of C<sub>1</sub>-C<sub>6</sub> alkyl, halo(C<sub>1</sub>-C<sub>4</sub>) alkyl of 1-5 halo radicals, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy or halo(C<sub>1</sub>-C<sub>4</sub>) alkoxy of 1-5 halo radicals;

- 25 R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> and R<sub>12</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; or -CR<sub>8</sub>R<sub>9</sub>- represents a -C(O)-;

B represents a radical of formula



- 30 wherein (a) R<sub>15</sub> is a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and R<sub>17</sub> is (1) an aryl, heteroaryl of 5-10 ring members, -

$\text{NH-C(O)-R}_{19}$ ,  $-\text{C(O)-NH-R}_{19}$ ,  $-\text{NH-C(O)-NH-R}_{19}$ ,  $-\text{O-C(O)-NH-R}_{19}$ ,  
 $-\text{NH-C(O)-O-R}_{19}$ ,  $-\text{S(O)}_2\text{-R}_{19}$ ,  $-\text{NH-S(O)}_2\text{-R}_{19}$ ,  $-\text{S(O)}_2\text{-NH-R}_{19}$  or  
 $-\text{NH-S(O)}_2\text{-NH-R}_{19}$  radical, or (2) an  $\text{C}_1\text{-C}_6$  alkyl radical substituted by a radical of aryl, heteroaryl of 5-10

5 ring members,  $-\text{NH-C(O)-R}_{19}$ ,  $-\text{C(O)-NH-R}_{19}$ ,  $-\text{NH-C(O)-NH-R}_{19}$ ,  
 $-\text{O-C(O)-NH-R}_{19}$ ,  $-\text{NH-C(O)-O-R}_{19}$ ,  $-\text{S(O)}_2\text{-R}_{19}$ ,  $-\text{NH-S(O)}_2\text{-R}_{19}$ ,  
 $-\text{S(O)}_2\text{-NH-R}_{19}$  or  $-\text{NH-S(O)}_2\text{-NH-R}_{19}$ ; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or

10

(b)  $R_{17}$  is a hydrogen or  $\text{C}_1\text{-C}_6$  alkyl radical; and  $R_{15}$  is (1) an aryl, heteroaryl of 5-10 ring members,  $\text{C}_3\text{-C}_8$

cycloalkyl, heterocyclyl of 5-8 ring members,  $-\text{NH-C(O)-R}_{19}$ ,  $-\text{C(O)-NH-R}_{19}$ ,  $-\text{NH-C(O)-NH-R}_{19}$ ,  $-\text{O-C(O)-NH-R}_{19}$ ,  $-\text{NH-}$

15  $-\text{C(O)-O-R}_{19}$ ,  $-\text{S(O)}_2\text{-R}_{19}$ ,  $-\text{NH-S(O)}_2\text{-R}_{19}$ ,  $-\text{S(O)}_2\text{-NH-R}_{19}$  or  $-\text{NH-S(O)}_2\text{-NH-R}_{19}$  radical, or (2) an  $\text{C}_1\text{-C}_4$  alkyl radical substituted by a radical of aryl, heteroaryl of 5-10

ring members,  $\text{C}_3\text{-C}_8$  cycloalkyl, heterocyclyl of 5-8 ring members,  $-\text{NH-C(O)-R}_{19}$ ,  $-\text{C(O)-NH-R}_{19}$ ,  $-\text{NH-C(O)-NH-R}_{19}$ ,  $-\text{O-}$

20  $-\text{C(O)-NH-R}_{19}$ ,  $-\text{NH-C(O)-O-R}_{19}$ ,  $-\text{S(O)}_2\text{-R}_{19}$ ,  $-\text{NH-S(O)}_2\text{-R}_{19}$ ,  $-\text{S(O)}_2\text{-NH-R}_{19}$  or  $-\text{NH-S(O)}_2\text{-NH-R}_{19}$  radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

25 provided that when a nitrogen atom is attached to the carbon atom to which  $R_{15}$  is attached, then  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or  $-\text{C(O)-NH-R}_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,

30  $-\text{NH-C(O)-R}_{19}$ ,  $-\text{C(O)-NH-R}_{19}$ ,  $-\text{NH-C(O)-NH-R}_{19}$ ,  $-\text{O-C(O)-NH-R}_{19}$ ,  $-\text{NH-C(O)-O-R}_{19}$ ,  $-\text{S(O)}_2\text{-R}_{19}$ ,  $-\text{NH-S(O)}_2\text{-R}_{19}$ ,  $-\text{S(O)}_2\text{-NH-R}_{19}$  or  $-\text{NH-S(O)}_2\text{-NH-R}_{19}$ ;

35 wherein  $R_{19}$  is a  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_3\text{-C}_8$  cycloalkyl,  $\text{C}_3\text{-C}_8$  cycloalkyl( $\text{C}_1\text{-C}_6$  alkyl), aryl, aryl( $\text{C}_1\text{-C}_6$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $\text{C}_1\text{-C}_6$  alkyl)

of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3

5 radicals of R<sub>2</sub>;

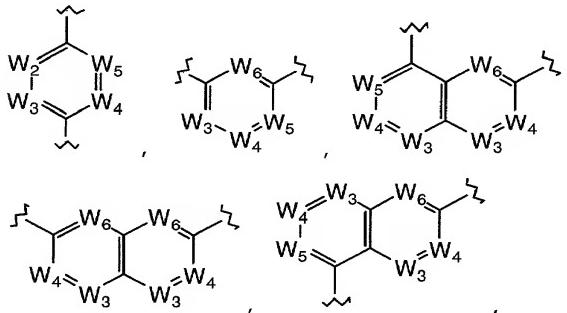
R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and

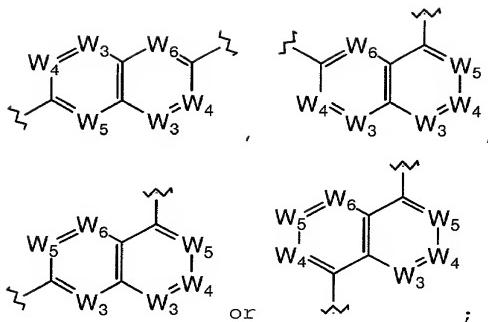
10 R<sub>20</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members radical or a C<sub>1</sub>-C<sub>6</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or  
15 heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

20 3. The compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein

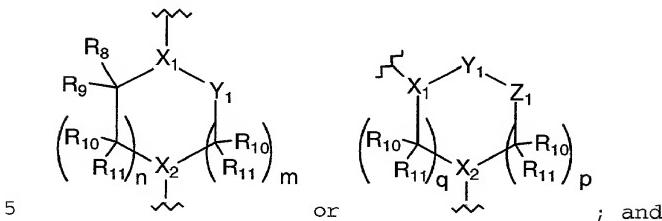
each Alk is independently a C<sub>1</sub>-C<sub>8</sub> alkyl radical;

25 V represents a radical of formula





A represents a radical of formula

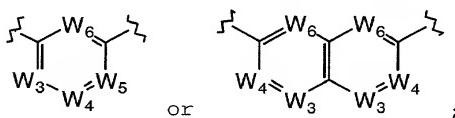


Y<sub>1</sub> is -C(O)- or -C(S)-.

10 4. The compound of Claim 3 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a C<sub>1</sub>-C<sub>6</sub> alkyl radical;

15 V represents a radical of formula



X<sub>2</sub> is C-H or C-(methyl) radical;

Y<sub>1</sub> is -C(O)-; and

5 R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> and R<sub>12</sub> are each independently a hydrogen or methyl radical; or -CR<sub>8</sub>R<sub>9</sub>- represents a -C(O)-.

5. The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein

10

each Alk is independently a C<sub>1</sub>-C<sub>4</sub> alkyl radical;

U represents amidino, guanidino, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-C(Q)-N(R)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-N(R)-R<sub>1</sub> or -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-O-R<sub>1</sub> radical;

G represents a bond, O or NH;

20 Q represents O, S, NH, N-CN or N-(C<sub>1</sub>-C<sub>4</sub> alkyl);

R is a radical of hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

25 R<sub>1</sub> is a radical of C<sub>1</sub>-C<sub>6</sub> alkyl, halo(C<sub>1</sub>-C<sub>6</sub> alkyl) of 1-5 halo radicals, R<sub>21</sub>R<sub>22</sub>N-(C<sub>1</sub>-C<sub>6</sub> alkyl), R<sub>21</sub>O-(C<sub>1</sub>-C<sub>6</sub> alkyl), C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>6</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>6</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

35 R<sub>21</sub> and R<sub>22</sub> are each independently a radical of hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members or heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring

members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

- each R<sub>2</sub> is independently a halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino or di(C<sub>1</sub>-C<sub>4</sub> alkyl)amino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each W<sub>6</sub> is C-H;

- each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub>, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl(C<sub>1</sub>-C<sub>4</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; and

- R<sub>20</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl or heteroaryl of 5-10 ring members or a C<sub>1</sub>-C<sub>4</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

6. The compound of Claim 5 or a pharmaceutically acceptable salt thereof, wherein

U represents amidino, guanidino,  $-(G-(C_1-C_8\text{ alkyl}))_k-NH-$

5  $R_1$ ,  $-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8\text{ alkyl}))_k-C(Q)-N(R)-R_1$ ,  $-NH-C(Q)-N(R)-R_1$  or  $-NH-C(Q)-O-R_1$  radical;

Q represents O or NH;

10 R is a radical of hydrogen or  $C_1-C_2$  alkyl;

$R_1$  is a radical of  $C_1-C_6$  alkyl, halo( $C_1-C_6$  alkyl) of 1-5 halo radicals,  $R_{21}R_{22}N-(C_1-C_4$  alkyl),  $R_{21}O-(C_1-C_4$  alkyl),

$C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_4$  alkyl), aryl,

15 aryl( $C_1-C_4$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_4$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_4$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

20  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1-C_6$  alkyl, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_2$  is independently a halo,  $C_1-C_2$  alkyl,  $C_1-C_2$  alkoxy,  $C_1-C_2$  alkylthio,  $CF_3-$ ,  $CF_3O-$ , hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino,  $C_1-C_2$ ,

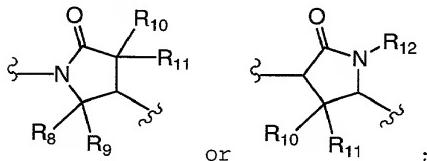
30 alkylamino or di( $C_1-C_2$  alkyl)amino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

35 each  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  are independently  $C-R_4$ ;

each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy or cyano radical;

5

A represents a radical of formula



- 10      (a) R<sub>15</sub> is a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical; and R<sub>17</sub> is -NH-C(O)-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical; or (b) R<sub>17</sub> is a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical; and R<sub>15</sub> is (1) an aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or heterocyclyl of 5-8 ring members radical, or (2) an C<sub>1</sub>-C<sub>2</sub> alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or heterocyclyl of 5-8 ring members radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;
- 15      20      R<sub>19</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members or heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;
- 25      R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl radical;
- 30      E is a radical of carboxy, amido, tetrazolyl or -C(O)-O-R<sub>20</sub>; and

$R_{20}$  is a  $C_1-C_2$  alkyl, aryl or heteroaryl of 5-10 ring members or a  $C_1-C_2$  alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ .

7. The compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein

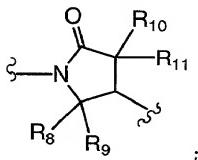
Alk is independently a  $C_1-C_2$  alkyl radical;

G represents a bond or NH;

$R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1-C_6$  alkyl or aryl, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_4$  is independently a hydrogen, halo,  $C_1-C_2$  alkyl,  $C_1-C_2$  alkoxy,  $C_1-C_2$  alkylthio,  $CF_3-$ ,  $CF_3O-$ , hydroxy or cyano radical;

A represents a radical of formula



(a)  $R_{15}$  is a hydrogen or  $C_1-C_2$  alkyl radical; and  $R_{17}$  is  $-NH-C(O)-O-R_{19}$ , or  $-NH-S(O)_2-R_{19}$  radical; or (b)  $R_{17}$  is a hydrogen or  $C_1-C_2$  alkyl radical; and  $R_{15}$  is (1) an aryl or heteroaryl of 5-10 ring members, or (2) an  $C_1-C_2$

alkyl radical substituted by a radical of aryl or heteroaryl of 5-10 ring members; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

5

R<sub>19</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl or aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), wherein the aryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

10 R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical;

E is a radical of carboxy or -C(O)-O-R<sub>20</sub>; and

15 R<sub>20</sub> is a C<sub>1</sub>-C<sub>2</sub> alkyl, aryl or aryl(C<sub>1</sub>-C<sub>2</sub> alkyl) radical, wherein the aryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

20 8. A pharmaceutical composition comprising a compound according to any of Claims 1 to 7 and a pharmaceutically acceptable carrier.

25 9. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

30 10. The method of Claim 9 wherein the integrin receptor is vitronectin receptor α<sub>v</sub>β<sub>3</sub>, α<sub>v</sub>β<sub>5</sub> or α<sub>v</sub>β<sub>6</sub>.

35 11. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a composition of Claim 8.

12. The method of Claim 11 wherein the an integrin receptor is vitronectin receptor  $\alpha_v\beta_3$ ,  $\alpha_v\beta_5$  or  $\alpha_v\beta_6$ .

5        13. A method of antagonizing an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

10      14. The method of Claim 13 wherein the an integrin receptor is vitronectin receptor  $\alpha_v\beta_3$ ,  $\alpha_v\beta_5$  or  $\alpha_v\beta_6$ .

15      15. A method of antagonizing an integrin receptor comprising administering an effective amount of a composition of Claim 8.

16      16. The method of Claim 15 wherein the an integrin receptor is vitronectin receptor  $\alpha_v\beta_3$ ,  $\alpha_v\beta_5$  or  $\alpha_v\beta_6$ .

17. A method for the treatment of  
20 atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a compound  
25 according to any of Claims 1 to 7.

18. A method for the treatment of  
20 atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a composition of  
30 Claim 8.